XP-002287009

AN - 2001-432596 [46]
AP - AU20010015481 20001122; [Based on WO0138295] ; WO2000JP08229 20001122; JP20000355117 20001122
CPY - SAGA
- TAIS
DC - B05
DS - AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR
FS - CPI
IC - A61K31/17 ; A61K31/192 ; A61K31/235 ; A61K31/27 ; A61K31/275 ; A61K31/41 ; A61K31/416 ; A61K31/4164 ; A61K31/426 ; A61K31/433 ; A61K31/44 ; A61K31/4402 ; A61K31/4406 ; A61K31/4409 ; A61K31/47 ; A61K31/4965 ; A61K31/5375 ; A61P3/04 ; A61P3/10 ; A61P9/10 ; A61P13/12 ; A61P25/14 ; A61P25/16 ; A61P25/28 ; A61P29/00 ; A61P35/00 ; A61P37/00 ; A61P43/00 ; C07C271/08 ; C07C271/12 ; C07C271/16 ; C07C271/18 ; C07C271/20 ; C07C271/22 ; C07C271/28 ; C07C275/20 ; C07C275/42 ; C07C311/53 ; C07C323/43 ; C07D213/36 ; C07D213/53 ; C07D213/75 ; C07D215/38 ; C07D231/56 ; C07D233/61 ; C07D233/64 ; C07D241/20 ; C07D257/04 ; C07D257/06 ; C07D277/46 ; C07D277/48 ; C07D285/12 ; C07D285/135 ; C07D295/12
IN - GODA K; KOBORI T; SUGIMOTO K; TAGUCHI M
MC - B06-H B07-H B10-A10 B10-A12C B14-C03 B14-D07A B14-E12 B14-F01B B14-F07 B14-F09 B14-G02D B14-H01 B14-J01 B14-N10
M2 - [01] H4 H401 H481 H7 H721 H8 J0 J011 J3 J371 K0 L4 L463 M210 M214 M233 M262 M281 M316 M321 M331 M343 M383 M391 M416 M710 M904 M905 P420 P433 P444 P446 P522 P616 P633 P723 P731 P814 P815 P816; RA4KHS-T RA4KHS-N
- [02] C115 C216 C316 D010 D019 D020 D029 D040 D049 F010 F019 F021 F029 G001 G010 G011 G012 G013 G019 G030 G050 G100 G111 G112 G553 G563 H100 H101 H102 H121 H141 H181 H182 H4 H401 H402 H481 H482 H594 H7 H721 H8 J011 J012 J171 J331 J351 J371 J372 K0 K351 K352 K442 K620 K640 K699 K810 K820 K830 K850 K899 L4 L410 L420 L431 L432 L450 L461 L462 L463 L499 L531 L532 M210 M211 M212 M213 M214 M215 M216 M220 M221 M222 M223 M224 M225 M226 M231 M232 M233 M262 M272 M273 M280 M281 M282 M283 M311 M315 M316 M321 M322 M331 M332 M333 M342 M343 M344 M373 M381 M383 M391 M392 M412 M413 M414 M415 M416 M510 M511 M512 M520 M521 M522 M530 M531 M532 M533 M540 M541 M710 M904 M905 P420 P433 P444 P446 P522 P616 P633 P723 P731 P814 P815 P816; 0043-28601-T 0043-28601-N
PA - (SAGA) SAGAMI CHEM RES CENT
- (TAIS) TAISHO PHARM CO LTD
PN - AU200115481 A 20010604 DW200153 C07C271/08 000pp
- WO0138295 A1 20010531 DW200146 C07C271/08 Jpn 070pp
- JP2001213858 A 20010807 DW200150 C07C271/12 031pp
PR - JP19990332165 19991124
XA - C20D1-130853
XIC - A61K-031/17 ; A61K-031/192 ; A61K-031/235 ; A61K-031/27 ; A61K-031/275 ; A61K-031/41 ; A61K-031/416 ; A61K-031/4164 ; A61K-031/426 ; A61K-031/433 ; A61K-031/44 ; A61K-031/4402 ; A61K-031/4406 ; A61K-031/4409 ; A61K-031/47 ; A61K-031/4965 ; A61K-031/5375 ; A61P-003/04 ; A61P-003/10 ; A61P-009/10 ; A61P-013/12 ; A61P-025/14 ; A61P-025/16 ; A61P-025/28 ; A61P-029/00 ; A61P-035/00 ; A61P-037/00 ; A61P-043/00 ; C07C-271/08 ; C07C-271/12 ; C07C-271/16 ; C07C-271/18 ; C07C-271/20 ; C07C-271/22 ; C07C-271/28 ; C07C-275/20 ; C07C-275/42 ;

C07C-311/53 ; C07C-323/43 ; C07D-213/36 ; C07D-213/53 ; C07D-213/75 ; C07D-215/38 ; C07D-231/56 ; C07D-233/61 ; C07D-233/64 ; C07D-241/20 ; C07D-257/04 ; C07D-257/06 ; C07D-277/46 ; C07D-277/48 ; C07D-285/12 ; C07D-285/135 ; C07D-295/12

AB - WO200138295 NOVELTY - Sphingosine derivatives (I) are new.

- DETAILED DESCRIPTION - Sphingosine derivatives of formula (I) and their salts are new.
- G = CkH2k+1;
- R1 = H, 2-20C alkanoyl, COPh, 4-8C cycloalkylcarbonyl, 2-20C alkoxycarbonyl, COCR3R3NHR4 or COOR3;
- A = 1-5C alkyl;
- A1 = 1-4C alkyl;
- Ph = phenyl optionally substituted by Q;
- Q = OH, OA, 2-5C alkanoyl, COA1, COOA1, NH2, NHA, NAA, NHCOA1, NHCOOA1, A (optionally substituted by 1-5 halo), CN, NO2, SH or SA;
- A = 1-5C alkyl;
- A1 = 1-4C alkyl;
- R3 = H or A;
- R4 = H or COOA1;
- R2 = H, 1-8C alkyl, (CH2)nR5 or S(O)mPh1;
- R5 = OH, NHA, NAA, NAAA, COOH, COOA1, CONH2, CONHA, CONAA, OCONH2, OCONHA, OCONAA, Ph1, benzyl, pyridyl (optionally substituted by OA), pyrazolyl, pyrrolidyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, imidazolyl, thiazolyl, thiadiazolyl, tetrazolyl, quinolyl or 1H-indazolyl;
- Ph1 = phenyl optionally substituted by Q or ureido (optionally substituted by 1 or 2 A);
- n = 0-5;
- m = 0-2;
- Z = NR7;
- R7 = H, OH or A;
- Y = O or NR7;
- W = O or S, and
- k = 1-20.
- ACTIVITY - CNS; nootropic; antidiabetic; cerebroprotective; hemostatic; antiparkinsonian; anorectic; antiarteriosclerotic; antiinflammatory; immunomodulator; cytostatic; nephrotropic; cardiant.
- MECHANISM OF ACTION - Sphingomyelin phosphodiesterase inhibitor.
- In assays, 1-O-(1-hydroxyethylaminocarbonyl)-2-N-pivaloyl-D-erythro-sphingosine exhibited an IC50 value for sphingomyelinase of 0.6 mu M.
- USE - Used for treating or preventing cerebrovascular disorders (such as cerebral hemorrhage and cerebral infarction), head injuries, senile dementia, neurodegenerative diseases (such as Alzheimer's disease and Parkinson's disease), diabetes, obesity, arteriosclerosis, inflammatory diseases, immunological diseases, cancer, nephropathies and heart disease.
- (Dwg.0/0)

CN - RA4KHS-T RA4KHS-N 0043-28601-T 0043-28601-N

DN - AU CA CN KR US

IW - NEW SPHINGOSINE DERIVATIVE INHIBIT TREAT CEREBROVASCULAR DISORDER SENILE DEMENTIA DIABETES

IKW - NEW SPHINGOSINE DERIVATIVE INHIBIT TREAT CEREBROVASCULAR DISORDER

SENILE DEMENTIA DIABETES

INW - GODA K; KOBORI T; SUGIMOTO K; TAGUCHI M

NC - 025

OPD - 1999-11-24

ORD - 2001-05-31

PAW - (SAGA) SAGAMI CHEM RES CENT

- (TAIS) TAISHO PHARM CO LTD

TI - New sphingosine derivatives are sphingomyelinase inhibitors used for treating e.g. cerebrovascular disorders, senile dementia and diabetes